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WO 03/070182 A3

(54) Title: SODIUM CHANNEL BLOCKERS

(57) Abstract: The present invention relates to sodium channel blockers. The present invention also includes a variety of methods of treatment using these inventive sodium channel blockers.

10/532110

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/04817

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 241/28, 241/24; A61K 31/4965; A61P 7/10  
 US CL : 544/406, 407; 514/255.06

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
 U.S. : 544/406, 407; 514/255.06

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched  
 MERCK INDEX

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
 CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X ... A	US 3,313,813 A (CRAGOE et al) 11 April 1967 (11.04.67), Ex. 181 and 190, column 42 and 44 fits formula (I) with X = Cl, Y = NH <sub>2</sub> , R <sub>1</sub> = R <sub>2</sub> = R <sub>3</sub> = hydrogen R <sub>4</sub> = phenylethyl and a-methylbenzyl, X = a bond, o = p = 1, and Q = phenyl. See also claim 24.	1-7, 9-11, 13, 164, 168, 169, 172-208 ----- 8, 12, 14-163, 165-167, 170, & 171
X	BICKING et al. Pyrazine diuretics. I. N-Amidino-3-amino-6-halopyrazine carboxamides. J. Med. Chem., 1965, Vol. 8, No. 5, pages 638-42, compound 12 fits formula (I) with Y = NH <sub>2</sub> , X = R <sub>1</sub> = R <sub>2</sub> = R <sub>3</sub> = hydrogen R <sub>4</sub> = phenylethyl, X = chlorine o = p = 1, and Q = phenyl. See also compound 11.	1, 164, 168, 169, 172-208
X	US 3,573,306 A (SHEPARD et al) 30 March 1971 (30.03.71), Ex. 19, column 9 fits formula (I) with X = Cl, Y = NH <sub>2</sub> , R <sub>1</sub> = R <sub>2</sub> = R <sub>3</sub> = hydrogen R <sub>4</sub> = -O-benzyl, X = oxygen, o = 0, p = 1, and Q = phenyl. See also Ex 15, 17, and 22.	1-7, 164, 169, 172-208

Further documents are listed in the continuation of Box C.

See patent family annex.

• Special categories of cited documents:	"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A" document defining the general state of the art which is not considered to be of particular relevance	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"B" earlier application or patent published on or after the international filing date	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
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"O" document referring to an oral disclosure, use, exhibition or other means		
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## INTERNATIONAL SEARCH REPORT

## C. (Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EPAND et al. Reversal of intrinsic multidrug resistance in Chinese hamster ovary cells by amiloride analogs. British Journal of Cancer, 1991, Vol. 63, No. 2, pages 247-51, compound HPA fits formula (I) with X = Cl, Y = NH <sub>2</sub> , R <sub>1</sub> = R <sub>2</sub> = R <sub>3</sub> = hydrogen R <sub>4</sub> = phenylethyl, R <sub>5</sub> = OH, X = a bond, o = p = 1, and Q = 4-hydroxyphenyl. See also DCB, Benzamil, BTMB, and CBDMB.	1-7, 9-11, 13, 164, 168, 169, and 172-208